NTER (DIS), GRA, NOD, BON OR ?: nod 29 ch3, nod 30 ch3, hco 2 e1, hco 4 e1, hco 8 e1, hco 12 el, dis

١

REP G1=(1-5) CH2

ENTER (DIS), GRA, NOD, BON OR ?:end

T.3 STRUCTURE CREATED

=> search 13 sss full

FULL SEARCH INITIATED 15:38:21 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS (7 INCOMPLETE) 9 ANSWERS

SEARCH TIME: 00.00.01

9 SEA SSS FUL L3

=> dis 14 1- sub bib abs YOU HAVE REQUESTED DATA FROM 9 ANSWERS - CONTINUE? Y/(N):y

L4ANSWER 1 OF 9 REGISTRY COPYRIGHT 2003 ACS

473427-26-8 REGISTRY RN

ITERATION INCOMPLETE

Hexitol, 6-0-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-1-CN methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C37 H60 O7 S2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

137:320305 CA AN

TΙ Probucol derivatives and methods for treating transplant rejection

IN Edwards, David B.; Somers, Patricia K.; Glass, Mitchell PA USA

SO U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S. Ser. No. 815,262.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

ran.		TENT NO.	KIND	DATE	AP	PLICATION NO.	DATE		
PI	US	2002156022	A1	20021024	US	2001-36307	20011025		
	US	6147250	Α	20001114	US	1998-79213	19980514		
	US	2002016300	A1	20020207	US	2001-815262	20010321		
	US	2002177717	A1	20021128	US	2002-60734	20020130		
	US	2002169215	A1	20021114	US	2002-114346	20020402		
	US	2002188118	A1	20021212	US	2002-115206	20020402		
	US	2002193446	A1	20021219	US	2002-114351	20020402		
PRAI	US	1997-47020P	19970	514					
	US	1998-79213	19980	514					
	US	1999-370046	19990	806					
	US	2000-191046P	20000	321					
	US	2001-815262	20010	321					
GI									

Ι

$$\begin{array}{c} R1 \\ HO \\ R2 \end{array}$$

AB The invention discloses the use of I [R1-R4 = H, OH, C1-10 alkyl, aryl, heteroaryl, etc.; Y = bond, C(O); Z = C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, etc.], and pharmaceutically acceptable salts thereof, alone or in combination, for the treatment of transplant rejection. Prepn. of I [R1-R4 = tert-butyl; YZ = (CH2)3COOH] from probucol which was evaluated in a graft arteriopathy model and Me 4-chlorobutyrate is described.

L4 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2003 ACS

RN 366494-65-7 REGISTRY

ITERATION INCOMPLETE

CN D-Altritol, 1-0-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-1-methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C37 H60 O7 S2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

$$t-Bu$$
 $t-Bu$
 $t-Bu$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

REFERENCE 1

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135:303678
                CA
AN
     Preparation of probucol monoethers which increase plasma HDL cholesterol
TΙ
     levels and which improve HDL functionality.
     Luchoomun, Jayraz; Meng, Charles Q.; Saxena, Uday
IN
     Atherogenics, Inc., USA
PA
     PCT Int. Appl., 105 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                                           APPLICATION NO.
                                                            DATE
     PATENT NO.
                      KIND DATE
                                           WO 2001-US11899 20010411
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     WO 2001077072
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     WO 2001077072
                      A3
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             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                                             20010411
     US 2002016364
                       A1
     EP 1272465
                       A2
                            20030108
                                           EP 2001-926894
                                                             20010411
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 2000-196201P 20000411
     WO 2001-US11899 20010411
GI
```

Title compds., e.g. (I), were prepd. Thus, D-ribonic acid .gamma.-lactone was refluxed 16 h with tri-Et orthoformate in THF to give a residue which was refluxed with probucol, Ph3P, and di-Et azodicarboxylate in THF to give a residue which in turn was refluxed with HOAc/MeOH/H2O to give a residue which was stirred with aq. NaOH in THF to give I. I at 150 mg/kg/day gave a 30% increase in HDL cholesterol levels in hypercholesterolemic hamsters. Title compds. may also improve HDL functionality by (a) increasing clearance of cholesteryl esters, (b) increasing HDL-particle affinity for hepatic cell surface receptors or (c) increasing the half life of apoAI-HDL.

```
L4 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2003 ACS
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RN 366494-61-3 REGISTRY

CN D-Ribonic acid, 5-O-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-1-methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenyl]-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C36 H56 O7 S2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 135:303678 CA

TI Preparation of probucol monoethers which increase plasma HDL cholesterol levels and which improve HDL functionality.

IN Luchoomun, Jayraz; Meng, Charles Q.; Saxena, Uday

PA Atherogenics, Inc., USA

SO PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PA	rent :	NO.		KII	4D	DATE			A.	PPLI	CATI	ON NO	٥.	DATE			
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	WO			-		_			70.77	D 7A	DD	P.C	DD	DV	13.77	CA	СН	CN
		VV :						-							BZ,			-
					-	-	•		•	•	•	-			GD,			
			HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NΖ,	PL,	PT,	RO,
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,	US,	UΖ,
		VN, YU,		ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM				
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF.	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG	•	•
	US	2002016364			•	•	•	•	•	•	•	•	•	•	•			
	EΡ	1272	465		A2	2	2003	0108		E	P 20	01-9	2689	4	2001	0411		
															NL,		MC.	PΤ.
		•••							•				,		,	,	,	,
PRAT	US	IE, SI, LT, I 2000-196201P 2000					•	1.0,	1111,	01,	1 1 L g	111						
LIVIL																		
СТ	WO	2001-US11899			200	7104	TT											
GΙ																		

AB Title compds., e.g. (I), were prepd. Thus, D-ribonic acid .gamma.-lactone was refluxed 16 h with tri-Et orthoformate in THF to give a residue which was refluxed with probucol, Ph3P, and di-Et azodicarboxylate in THF to give a residue which in turn was refluxed with HOAc/MeOH/H2O to give a residue which was stirred with aq. NaOH in THF to give I. I at 150 mg/kg/day gave a 30% increase in HDL cholesterol levels in hypercholesterolemic hamsters. Title compds. may also improve HDL functionality by (a) increasing clearance of cholesteryl esters, (b)

increasing HDL-particle affinity for hepatic cell surface receptors or (c) increasing the half life of apoAI-HDL.

- ANSWER 4 OF 9 REGISTRY COPYRIGHT 2003 ACS L4
- 362598-46-7 REGISTRY RN

ITERATION INCOMPLETE

- D-Gluconic acid, 3-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-CN hydroxyphenyl]thio]-1-methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenoxy]-2-hydroxypropyl ester (9CI) (CA INDEX NAME)
- STEREOSEARCH FS
- C40 H64 O10 S2 MF
- SR CA
- CA, CAPLUS, TOXCENTER LCSTN Files:

Absolute stereochemistry.

PAGE 1-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1962 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

- ΑN 135:267271 CA
- Probucol-related thioketals and thioethers for inhibiting the expression TΙ of VCAM-1, preparation, and therapeutic use
- Meng, Charles Q.; Hoong, Lee K.; Somers, Patricia K. IN
- Atherogenics, Inc., USA PA
- PCT Int. Appl., 58 pp. SO

CODEN: PIXXD2

- DT Patent
- LΑ English

FAN.	CNT	4																				
	PA:	CENT :	NO.		KI	ИD	DATE			A	PPLI	CATI	ои и	0.	DATE							
										_		-										
PI	WO 2001070757			57	A	2	2001	0927		W	0 20	01-U	S904	9	2001	0321						
	WO 2001070757				A	3	2002	0314														
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			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,				
			HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,				
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,				
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,				
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		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,				
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,				
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	EP 1289944				A	2	2003	0312		E	P 20	01-9	2061	7	2001	0321						
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI US 2000-191046P 20000321 WO 2001-US9049 20010321

GI

$$R?$$
 Me
 Me
 $R?$
 $R?$
 $R?$
 R
 R
 R
 R
 R
 R
 R
 R
 R

AB Probucol-related thioketals and thioethers are provided that inhibit the expression of VCAM-1, and which can be used in the treatment of VCAM-1-mediated diseases, including inflammatory disorders, cardiovascular diseases, ocular diseases, autoimmune diseases, neurol. disorders, and cancer. Compds. of the invention include I [Ra-Rd = H, (un)substituted alkyl, (un)substituted aryl, etc.; Z = (un)substituted carbohydrate, (un)substituted alditol, (un)substituted C1-10 alkyl terminated by sulfonic acid, etc.]. The compds. also can be used to treat hyperlipidemia and/or hypercholesterolemia. Compd. prepn. is described.

L4 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2003 ACS

RN 362598-44-5 REGISTRY

ITERATION INCOMPLETE

CN D-Ribose, 5-O-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-1-methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C36 H56 O6 S2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 135:267271 CA

TI Probucol-related thicketals and thicethers for inhibiting the expression of VCAM-1, preparation, and therapeutic use

IN Meng, Charles Q.; Hoong, Lee K.; Somers, Patricia K.

PA Atherogenics, Inc., USA

SO PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

PATENT NO. KIND DATE APPLICATION NO. DATE

```
PΙ
     WO 2001070757
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                                                                      20010321
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              RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
               DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
               BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                  EP 2001-920617 20010321
                          A2
                                20030312
     EP 1289944
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 2000-191046P 20000321
     WO 2001-US9049
                         20010321
GI
```

Probucol-related thioketals and thioethers are provided that inhibit the expression of VCAM-1, and which can be used in the treatment of VCAM-1-mediated diseases, including inflammatory disorders, cardiovascular diseases, ocular diseases, autoimmune diseases, neurol. disorders, and cancer. Compds. of the invention include I [Ra-Rd = H, (un)substituted alkyl, (un)substituted aryl, etc.; Z = (un)substituted carbohydrate, (un)substituted alditol, (un)substituted C1-10 alkyl terminated by sulfonic acid, etc.]. The compds. also can be used to treat hyperlipidemia and/or hypercholesterolemia. Compd. prepn. is described.

Ι

L4 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2003 ACS

RN 362598-43-4 REGISTRY

ITERATION INCOMPLETE

CN Arabinitol, 5-0-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-1-methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C36 H58 O6 S2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

```
AN
     135:267271 CA
     Probucol-related thicketals and thicethers for inhibiting the expression
TI
     of VCAM-1, preparation, and therapeutic use
IN
    Meng, Charles Q.; Hoong, Lee K.; Somers, Patricia K.
PA
    Atherogenics, Inc., USA
     PCT Int. Appl., 58 pp.
SO
     CODEN: PIXXD2
     Patent
DT
LA
     English
FAN.CNT 4
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                            DATE
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                      ____
PΙ
    WO 2001070757
                      Α2
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                                           WO 2001-US9049
                                                            20010321
                      Α3
                            20020314
     WO 2001070757
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             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1289944 A2 20030312 EP 2001-920617 20010321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI US 2000-191046P 20000321 WO 2001-US9049 20010321

GΙ

AB Probucol-related thioketals and thioethers are provided that inhibit the expression of VCAM-1, and which can be used in the treatment of VCAM-1-mediated diseases, including inflammatory disorders, cardiovascular diseases, ocular diseases, autoimmune diseases, neurol. disorders, and cancer. Compds. of the invention include I [Ra-Rd = H, (un)substituted alkyl, (un)substituted aryl, etc.; Z = (un)substituted carbohydrate, (un)substituted alditol, (un)substituted C1-10 alkyl terminated by sulfonic acid, etc.]. The compds. also can be used to treat hyperlipidemia and/or hypercholesterolemia. Compd. prepn. is described.

Ι

L4 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2003 ACS

RN 268738-49-4 REGISTRY

CN Pentonic acid, 5-O-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-1-methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenyl]-(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C36 H56 O7 S2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 135:303678 CA

TI Preparation of probucol monoethers which increase plasma HDL cholesterol levels and which improve HDL functionality.

IN Luchoomun, Jayraz; Meng, Charles Q.; Saxena, Uday

PA Atherogenics, Inc., USA

SO PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

ran.		rent :	ΝО.		KI	MD	DATE			A)	PPLI	CATI	ои ис	0.	DATE	3 					
PI	WO	2001	0770	72	A	2	2001	1018		W	20	01-U	S118	99	2001	0411					
	WO	2001	0770	72	A.	3	2002	0718													
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			HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,			
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,			
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,			
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			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,			
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		·			
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	ΕP	1272	465		A.	2	2003	0108		E	P 20	01-9	2689	4	20010411						
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			IE,	SI,	LT,	LV,	FI,	RO,	MK.	CY,	AL,	TR	-	-	-	-	-	-			
PRAI	US	2000					-	•	•	•	·										
	WO	2001	-US1	1899	20	0104	11														
GI																					

AB Title compds., e.g. (I), were prepd. Thus, D-ribonic acid .gamma.-lactone was refluxed 16 h with tri-Et orthoformate in THF to give a residue which was refluxed with probucol, Ph3P, and di-Et azodicarboxylate in THF to give a residue which in turn was refluxed with HOAc/MeOH/H2O to give a residue which was stirred with aq. NaOH in THF to give I. I at 150 mg/kg/day gave a 30% increase in HDL cholesterol levels in hypercholesterolemic hamsters. Title compds. may also improve HDL functionality by (a) increasing clearance of cholesteryl esters, (b) increasing HDL-particle affinity for hepatic cell surface receptors or (c)

increasing the half life of apoAI-HDL.

```
REFERENCE 2
     132:343330 CA
ΑN
     Methods and compositions to lower plasma cholesterol levels
ΤI
     Medford, Russell M.; Saxena, Uday
IN
     Atherogenics, Inc., USA
PA
     PCT Int. Appl., 50 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                                           APPLICATION NO.
                                                            DATE
                      KIND
                           DATE
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                                           WO 1999-US26519 19991109
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     JP 2002529740
                            20020910
PRAI US 1998-107644P
                      19981109
     WO 1999-US26519 19991109
     A method for detg. whether a compd. binds to a lipoprotein, e.g. LDL or
AΒ
     VLDL, in a manner which will lower plasma cholesterol is provided that
     includes assessing the ability of the compd. to form a complex with the
     lipoprotein, e.g., LDL or VLDL, and then detg. whether the newly formed
     complex causes a change in the structure of apoB-100 that results in
     increased binding affinity to the LDL receptor. Also disclosed is a
     method for lowering cholesterol in a host in need thereof, including a
     human, that includes the administration of an effective amt. of a compd.
     which binds to cholesterol-carrying lipoprotein (e.g. LDL or VLDL) in a
     manner that alters the three dimensional configuration of the lipoprotein
     and increases the binding affinity of the apoB-100 protein to the LDL
     receptor, including those on the surface of a hepatic cell.
              THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
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ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 9 REGISTRY COPYRIGHT 2003 ACS L4

RN 216168-36-4 REGISTRY

ITERATION INCOMPLETE

D-Glucitol, 6-0-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-CN 1-methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

STEREOSEARCH FS

C37 H60 O7 S2 MF

SR

CA, CAPLUS, TOXCENTER, USPATFULL LC STN Files:

Absolute stereochemistry.

- 3 REFERENCES IN FILE CA (1962 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 137:320305 CA

TI Probucol derivatives and methods for treating transplant rejection

IN Edwards, David B.; Somers, Patricia K.; Glass, Mitchell

PA USA

SO U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S. Ser. No. 815,262.

CODEN: USXXCO

DT Patent

LA English

FAN. CNT 4

CAM.	PATENT NO.	KIND	KIND DATE APPLICATION NO.							
PI	US 2002156022	A1	20021024	US 2001-36307 20011025						
	US 6147250	Α	20001114	US 1998-79213 19980514						
	us 2002016300	A1	20020207	US 2001-815262 20010321						
	US 2002177717	A1	20021128	US 2002-60734 20020130						
	US 2002169215	A1	20021114	US 2002-114346 20020402						
	US 2002188118	A1	20021212	US 2002-115206 20020402						
	US 2002193446	A1	20021219	US 2002-114351 20020402						
PRAI	US 1997-47020P	19970	514							
	US 1998-79213	19980	514							
	US 1999-370046	19990	806							
	US 2000-191046P	20000	321							
	US 2001-815262	20010	321							
GI										

$$R1$$
 $R2$
 $R3$
 $R4$
 $R3$

AB The invention discloses the use of I [R1-R4 = H, OH, C1-10 alkyl, aryl, heteroaryl, etc.; Y = bond, C(O); Z = C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, etc.], and pharmaceutically acceptable salts thereof, alone or in combination, for the treatment of transplant rejection. Prepn. of I [R1-R4 = tert-butyl; YZ = (CH2)3COOH] from probucol which was evaluated in a graft arteriopathy model and Me 4-chlorobutyrate is described.

REFERENCE 2

AN 132:343330 CA

TI Methods and compositions to lower plasma cholesterol levels

Ι

IN Medford, Russell M.; Saxena, Uday

PA Atherogenics, Inc., USA

SO PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PΙ

PATENT NO. KIND DATE APPLICATION NO. DATE

-----WO 2000028332 A1 20000518 WO 1999-US26519 19991109
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     JP 2002529740
PRAI US 1998-107644P 19981109
     WO 1999-US26519 19991109
     A method for detg. whether a compd. binds to a lipoprotein, e.g. LDL or
AΒ
     VLDL, in a manner which will lower plasma cholesterol is provided that
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     lipoprotein, e.g., LDL or VLDL, and then detg. whether the newly formed
     complex causes a change in the structure of apoB-100 that results in
     increased binding affinity to the LDL receptor. Also disclosed is a
     method for lowering cholesterol in a host in need thereof, including a
     human, that includes the administration of an effective amt. of a compd.
     which binds to cholesterol-carrying lipoprotein (e.g. LDL or VLDL) in a
     manner that alters the three dimensional configuration of the lipoprotein
     and increases the binding affinity of the apoB-100 protein to the LDL
     receptor, including those on the surface of a hepatic cell.
              THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 8
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
REFERENCE
AN
     130:13646 CA
     Preparation of phenolic compounds for the inhibition of the expression of
TΙ
     VCAM-1
     Medford, Russell M.; Somers, Patricia K.; Hoong, Lee K.; Meng, Charles Q.
TN
PA
     Atherogenics, Inc., USA
     PCT Int. Appl., 109 pp.
SO
     CODEN: PIXXD2
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     English
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     AU 9874851
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     WO 1998-US9781
                      19980514
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$$R^{1}$$
 R
 R^{2}
 R^{4}
 R^{2}
 R^{4}

Title compds. [e.g., I; R = Z1Z2R5; R1,R2 = (un)substituted (cyclo)alkyl, AΒ -(hetero)aryl, etc.; R3,R4 = any group that does not otherwise adversely affect (sic) the desired properties of the mol. including H, halogen, or R1 (sic); R5 = (di)(alkyl)amino, alkyl, alkoxy(carbonyl), (hetero)aryl, etc.; Z1 = O SOO-2, NH, CH2; Z2 = bond, alkylene(oxy) aryleneoxy, etc.] were prepd. Thus, 4-(BrCH2)C6H4CH2CO2H was thioetherified by 4-mercapto-2,6-di-tert-butylphenol to give I [R = SCH2C6H4(CH2CO2H)-4, R1 = R2 = CMe3, R3 = R4 = H]. Data for biol. activity of I were given.

- ANSWER 9 OF 9 REGISTRY COPYRIGHT 2003 ACS L4
- RN 216168-35-3 REGISTRY

ITERATION INCOMPLETE

D-Glucose, 6-0-[4-[[1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-1-CN methylethyl]thio]-2,6-bis(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

- STEREOSEARCH FS
- MF C37 H58 O7 S2

SR

LC CA, CAPLUS, USPATFULL STN Files:

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 3 REFERENCES IN FILE CA (1962 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

135:303678 CA ΑN

Preparation of probucol monoethers which increase plasma HDL cholesterol ΤI levels and which improve HDL functionality.

- Luchoomun, Jayraz; Meng, Charles Q.; Saxena, Uday IN
- Atherogenics, Inc., USA PA
- SO PCT Int. Appl., 105 pp.

CODEN: PIXXD2

- DTPatent
- English LΑ
- FAN.CNT 1

	PATENT	NO.		KI	ND	DATE			A.	PPLI	CATI	ON NO	o. :	DATE			
PI	WO 2001	10770	72	A	2	2001	1018		W	20	01-U	s1189	99 :	2001	0411		
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		HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2002016364 20020207 US 2001-833407 20010411 A1 20030108 EP 2001-926894 20010411 EP 1272465 A2 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR PRAI US 2000-196201P 20000411 WO 2001-US11899 20010411

Medford, Russell M.; Saxena, Uday

Atherogenics, Inc., USA

Title compds., e.g. (I), were prepd. Thus, D-ribonic acid .gamma.-lactone AΒ was refluxed 16 h with tri-Et orthoformate in THF to give a residue which was refluxed with probucol, Ph3P, and di-Et azodicarboxylate in THF to qive a residue which in turn was refluxed with HOAc/MeOH/H2O to give a residue which was stirred with aq. NaOH in THF to give I. I at 150 mg/kg/day gave a 30% increase in HDL cholesterol levels in hypercholesterolemic hamsters. Title compds. may also improve HDL functionality by (a) increasing clearance of cholesteryl esters, (b) increasing HDL-particle affinity for hepatic cell surface receptors or (c) increasing the half life of apoAI-HDL.

Methods and compositions to lower plasma cholesterol levels

REFERENCE 2

AN

ΤI IN

PA

132:343330 CA

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SO
     PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
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                                              APPLICATION NO.
                                                                DATE
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     WO 2000028332
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              CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                             EP 1999-962732
     EP 1137948
                        A1
                                                                19991109
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              IE, SI, LT, LV, FI, RO
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                            20020910
                                              JP 2000-581459
                                                                19991109
                        Т2
PRAI US 1998-107644P 19981109
     WO 1999-US26519 19991109
AB
     A method for detg. whether a compd. binds to a lipoprotein, e.g. LDL or
     VLDL, in a manner which will lower plasma cholesterol is provided that
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includes assessing the ability of the compd. to form a complex with the

lipoprotein, e.g., LDL or VLDL, and then detg. whether the newly formed complex causes a change in the structure of apoB-100 that results in increased binding affinity to the LDL receptor. Also disclosed is a method for lowering cholesterol in a host in need thereof, including a human, that includes the administration of an effective amt. of a compd. which binds to cholesterol-carrying lipoprotein (e.g. LDL or VLDL) in a manner that alters the three dimensional configuration of the lipoprotein and increases the binding affinity of the apoB-100 protein to the LDL receptor, including those on the surface of a hepatic cell.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE 3

```
AN 130:13646 CA
TI Preparation of phenolic compounds for the inhibition of the expression of VCAM-1
```

IN Medford, Russell M.; Somers, Patricia K.; Hoong, Lee K.; Meng, Charles Q.

PA Atherogenics, Inc., USA

SO PCT Int. Appl., 109 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

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PRAI		1997 1998																

$$R^{1}$$
 R^{1}
 R^{2}
 R^{4}
 R^{2}
 R^{2}

GΙ

AB Title compds. [e.g., I; R = Z1Z2R5; R1,R2 = (un)substituted (cyclo)alkyl, -(hetero)aryl, etc.; R3,R4 = any group that does not otherwise adversely affect (sic) the desired properties of the mol. including H, halogen, or R1 (sic); R5 = (di)(alkyl)amino, alkyl, alkoxy(carbonyl), (hetero)aryl, etc.; Z1 = O SOO-2, NH, CH2; Z2 = bond, alkylene(oxy) aryleneoxy, etc.] were prepd. Thus, 4-(BrCH2)C6H4CH2CO2H was thioetherified by

4-mercapto-2,6-di-tert-butylphenol to give I [R = SCH2C6H4(CH2CO2H)-4, R1 = R2 = CMe3, R3 = R4 = H]. Data for biol. activity of I were given.

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